



*ITW*

PATENT

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicants: Wai et al.

Serial No.: 10/591,914

Docket No.: 21548YP

Filed: September 8, 2006

For: HIV INTEGRASE INHIBITORS

Art Unit:

Not Yet Assigned

Examiner:

Not Yet Assigned

Mail Stop Amendment  
Commissioner for Patents  
P.O. Box 1450  
Alexandria, Virginia 22313-1450

LETTER - TRANSMITTAL OF IPRP

Sir:

In case the Office has not received it from the International Bureau, enclosed is a copy of the International Preliminary Report on Patentability for the subject application.

Respectfully submitted,

By: *Kenneth R. Walton*

Kenneth R. Walton, Reg. No. 32,951

Attorney for Applicants

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Tel.: (732) 594-3462

Date: November 8, 2006

I hereby certify that this correspondence is being deposited with the United States Postal Service as first class mail in an envelope addressed to: Commissioner for Patents, P.O. Box 1450, Alexandria, Virginia 22313-1450, on the date appearing below.

MERCK & CO., INC.  
By *Jamika Watson* Date 11/8/06

From the INTERNATIONAL BUREAU

**PCT**NOTIFICATION CONCERNING  
TRANSMITTAL OF COPY OF INTERNATIONAL  
PRELIMINARY REPORT ON PATENTABILITY  
(CHAPTER I OF THE PATENT COOPERATION  
TREATY)

(PCT Rule 44bis.1(c))

To:

MERCK & CO., INC.  
126 East Lincoln Avenue  
Rahway, NJ 07065-0907  
ETATS-UNIS D'AMERIQUE  
PAT. REG. COORD.  
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CASE REFERENCE CLERK  
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Date of mailing (day/month/year)

21 September 2006 (21.09.2006)

Applicant's or agent's file reference

PCT 21548Y

International application No.

PCT/US2005/007106

International filing date (day/month/year)

04 March 2005 (04.03.2005)

Priority date (day/month/year)

09 March 2004 (09.03.2004)

Applicant

MERCK &amp; CO., INC. et al

The International Bureau transmits herewith a copy of the international preliminary report on patentability (Chapter I of the Patent Cooperation Treaty)

The International Bureau of WIPO  
34, chemin des Colombettes  
1211 Geneva 20, Switzerland

Authorized officer

Beate Giffo-Schmitt

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# PATENT COOPERATION TREATY

# PCT

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY (Chapter I of the Patent Cooperation Treaty)

(PCT Rule 44bis)

Applicant's or agent's file reference PCT 21548Y	<b>FOR FURTHER ACTION</b>	See item 4 below
International application No. PCT/US2005/007106	International filing date ( <i>day/month/year</i> ) 04 March 2005 (04.03.2005)	Priority date ( <i>day/month/year</i> ) 09 March 2004 (09.03.2004)
International Patent Classification (8th edition unless older edition indicated) See relevant information in Form PCT/ISA/237		
Applicant MERCK & CO., INC.		

1. This international preliminary report on patentability (Chapter I) is issued by the International Bureau on behalf of the International Searching Authority under Rule 44 bis.1(a).

2. This REPORT consists of a total of 7 sheets, including this cover sheet.

In the attached sheets, any reference to the written opinion of the International Searching Authority should be read as a reference to the international preliminary report on patentability (Chapter I) instead.

3. This report contains indications relating to the following items:

- |                                     |              |   |
|-------------------------------------|--------------|---|
| <input checked="" type="checkbox"/> | Box No. I    | Basis of the report   |
| <input type="checkbox"/>            | Box No. II   | Priority  |
| <input type="checkbox"/>            | Box No. III  | Non-establishment of opinion with regard to novelty, inventive step and industrial applicability  |
| <input type="checkbox"/>            | Box No. IV   | Lack of unity of invention  |
| <input checked="" type="checkbox"/> | Box No. V    | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |
| <input type="checkbox"/>            | Box No. VI   | Certain documents cited   |
| <input checked="" type="checkbox"/> | Box No. VII  | Certain defects in the international application  |
| <input checked="" type="checkbox"/> | Box No. VIII | Certain observations on the international application   |

4. The International Bureau will communicate this report to designated Offices in accordance with Rules 44bis.3(c) and 93bis.1 but not, except where the applicant makes an express request under Article 23(2), before the expiration of 30 months from the priority date (Rule 44bis .2).

<p style="text-align: center;">The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland</p> <p>Facsimile No. +41 22 338 82 70</p>	<p>Date of issuance of this report 13 September 2006 (13.09.2006)</p> <p>Authorized officer  <b>Beate Giffo-Schmitt</b></p> <p>e-mail: pt03@wipo.int</p>
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# PATENT COOPERATION TREATY

From the  
INTERNATIONAL SEARCHING AUTHORITY

To:  
KENNETH R. WALTON  
MERCK & CO., INC.  
126 EAST LINCOLN AVENUE  
RAHWAY, NJ 07065-0907

## PCT

REC'D 19 JUN 2006

WIPO

PCT

### WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY

(PCT Rule 43bis.1)

Date of mailing  
(day/month/year) **15 JUN 2006**

#### FOR FURTHER ACTION

See paragraph 2 below

Applicant's or agent's file reference

PCT 21548Y

International application No.

PCT/US05/07106

International filing date (day/month/year)

04 March 2005 (04.03.2005)

Priority date (day/month/year)

09 March 2004 (09.03.2004)

International Patent Classification (IPC) or both national classification and IPC

IPC: Please See Continuation Sheet

USPC: 546/26,192,193,207

Applicant

MERCK & CO., INC.

#### 1. This opinion contains indications relating to the following items:

- ☒ Box No. I Basis of the opinion
- ☐ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☒ Box No. VII Certain defects in the international application
- ☒ Box No. VIII Certain observations on the international application

#### 2. FURTHER ACTION

If a demand for international preliminary examination is made, this opinion will be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA") except that this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of 3 months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

#### 3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA/ US

Mail Stop PCT, Attn: ISA/US  
Commissioner for Patents  
P.O. Box 1450  
Alexandria, Virginia 22313-1450

Facsimile No. (571) 273-3201

Date of completion of this opinion

10 May 2006 (10.05.2006)

Authorized officer

Thomas McKenzie

Telephone No. 571-272-1600

*James Ford for*

WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY

International application No.

PCT/US05/07106

Box No. I Basis of this opinion

1. With regard to the language, this opinion has been established on the basis of:

- ☒ the international application in the language in which it was filed
- ☐ a translation of the international application into \_\_\_\_\_, which is the language of a translation furnished for the purposes of international search (Rules 12.3(a) and 23.1(b)).

2. With regard to any nucleotide and/or amino acid sequence disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:

a. type of material

- ☐ a sequence listing
- ☐ table(s) related to the sequence listing

b. format of material

- ☐ on paper
- ☐ in electronic form

c. time of filing/furnishing

- ☐ contained in the international application as filed.
- ☐ filed together with the international application in electronic form.
- ☐ furnished subsequently to this Authority for the purposes of search.

3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table(s) relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.

4. Additional comments:

WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY

International application No.  
PCT/US05/07106

**Box No. V Reasoned statement under Rule 43 *bis*.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

Novelty (N)	Claims <u>1-19</u>	YES
	Claims <u>NONE</u>	NO
Inventive step (IS)	Claims <u>1-19</u>	YES
	Claims <u>NONE</u>	NO
Industrial applicability (IA)	Claims <u>1-19</u>	YES
	Claims <u>NONE</u>	NO

2. Citations and explanations:

Claims 1-19 meet the criteria set out in PCT Article 33(2)-(3), because the prior art does not teach or fairly suggest the fused bicyclic piperidine ring compound for inhibiting HIV integrase.

Claims 1-19 meet the criteria set out in PCT Article 33(4), and thus have industrial applicability because the subject matter claimed can be made or used in industry.

**WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY**

International application No.

PCT/US05/07106

**Box No. VII Certain defects in the international application**

The following defects in the form or contents of the international application have been noted:

Claims 12-19 are objected to under PCT Rule 66.2(a)(iii) as containing the following defect in the form or contents thereof: Claims 12-19 are improper multiple dependent claims, the claims depend on any of claims 1-11.

**WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY**

International application No.

PCT/US05/07106

**Box No. VIII Certain observations on the international application**

The following observations on the clarity of the claims, description, and drawings or on the questions whether the claims are fully supported by the description, are made:

Claims 12-14 are objected to under PCT Rule 66.2(a)(v) as lacking clarity under PCT Article 6 because claims 12-14 are indefinite for the following reason: the claims recite a method of inhibiting HIV integrase comprising administering to a subject an "effective amount" of a compound of claims 1 to 11. No definition of an "effective amount" is given.

Claims 14, 16, 18-19 are objected to under PCT Rule 66.2(a)(v) as lacking clarity under PCT Article 6 because claims 14, 16, 18-19 are indefinite for the following reason(s): the claims recite a method of preventing infection by HIV or preventing the onset of AIDS. The term, "preventing" is indefinite because one having no symptoms of HIV or AIDS would not be given a compound such as the one of claims 1-11.



**WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY**

International application No.  
PCT/US05/07106

**Supplemental Box**

**In case the space in any of the preceding boxes is not sufficient.**

Continuation of IPC:

C07D 221/18( 2006.01),211/08( 2006.01),211/68( 2006.01),211/80( 2006.01),401/00( 2006.01),405/00( 2006.01),409/00( 2006.01),411/00( 2006.01),413/00( 2006.01),417/00( 2006.01),419/00( 2006.01),421/00( 2006.01),213/02 ( 2006.01)